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Application No.: 10/615,809

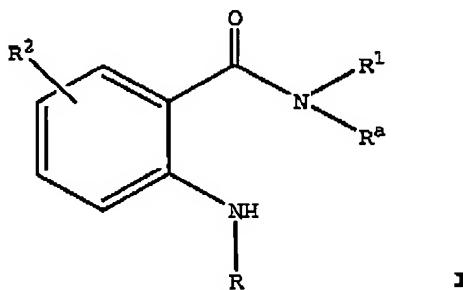
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AMENDMENTS TO THE CLAIMS

This listing of claims replaces all previous listings

WHAT IS CLAIMED IS:

1. (Amended Herein) A compound of Formula I



wherein R is selected from

a) ~~unsubstituted or substituted 9 or 10 membered fused heterocyclyl,~~~~wherein R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁-alkyl, C₁-haloalkyl, C₁-alkoxy, optionally substituted heterocyclylalkoxy, C₁-alkylamine C₁-alkynyl, C₁-alkylamino C₁-alkoxy, C₁-alkylamino C₁-alkoxy C₁-alkoxy, and optionally substituted heterocyclyl C₁-alkynyl, and~~b) -(CH₂)₁₋₃-R³;wherein R¹ is selected from unsubstituted or substituteda) ~~5-6 membered saturated or partially saturated heterocyclyl,~~~~b) 9-10 membered bicyclic and 13-14 membered tricyclic saturated or partially saturated heterocyclyl, and~~

c) phenyl;

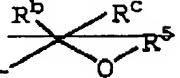
~~wherein substituted R¹ is heterocyclyl substituted with one or more substituents selected from halo, C₁-alkyl, optionally substituted C₁-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl C₁-alkenyl, C₁-haloalkoxy,~~

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optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkyl, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkylcarbonyl, C_1-C_4 haloalkyl, C_1-C_4 aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_1-C_4 alkylsulfonyl, halosulfonyl, C_1-C_4 alkylcarbonyl, C_1-C_4 alkylamino C_1-C_4 alkyl, C_1-C_4 alkylamino C_1-C_4 alkoxy, C_1-C_4 alkylamino C_1-C_4 alkoxy, C_1-C_4 alkoxycarbonyl, C_1-C_4 alkoxycarbonylamine C_1-C_4 alkyl, C_1-C_4 hydroxylalkyl, and C_1-C_4 alkoxy;

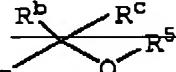
wherein substituted R^2 is phenyl substituted with a substituent selected from optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkyl, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, and optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkylcarbonyl, and optionally substituted with one or more substituents selected from halo, C_1-C_4 alkyl, optionally substituted C_1-C_4 cycloalkyl, optionally substituted phenyl, optionally substituted phenyl C_1-C_4 alkenyl, C_1-C_4 haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl C_1-C_4 alkyl, optionally substituted 4-6 membered



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heterocyclyl-C₁₋₆-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamine, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₄-haloalkyl, C₁₋₄-aminealkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁₋₄-alkylsulfonyl, haloalkyl, C₁₋₄-alkylcarbonyl, C₁₋₄-alkylamine-C₁₋₄-alkyl, C₁₋₄-alkylamine-C₁₋₄-alkoxy, C₁₋₄-alkylamine-C₁₋₄-alkoxy-C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamine-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl, and C₁₋₄-alkoxy.



selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolinyl, and 1,4-benzodioxanyl; wherein R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-

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ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl,
dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-
methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl,
piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-
tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-
piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl,
tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-
hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl,
1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl,
2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-
isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy,
4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-
ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-
ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-
methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and
pharmaceutically acceptable derivatives thereof

;

wherein R² is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₂-alkylamino,

aminosulfonyl,

C₃₋₆-cycloalkyl,

cyano,

C₁₋₂-hydroxyalkyl,

nitro,

C₂₋₃-alkenyl,

C₂₋₃-alkynyl,

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C_{1-6} -haloalkoxy,
 C_{1-6} -carboxyalkyl,
4-6-membered heterocyclyl- C_{1-6} -alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^3 is independently selected from substituted or unsubstituted aryl, substituted or unsubstituted 5-6 membered heterocyclyl, and substituted or unsubstituted fused 9-, 10- or 11 membered heterocyclyl; wherein substituted R^3 is substituted with one or more substituents independently selected from halo, -OR⁴, -SR⁴, -SO₂R⁴, -CO₂R⁴, -CONR⁴R⁴, -COR⁴, -NR⁴R⁴, -SO₂NR⁴R⁴, -NR⁴C(O)OR⁴, -NR⁴C(O)R⁴, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^4 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C₃-C₆ cycloalkyl, phenyl- C_{1-6} -alkyl, optionally substituted 4-6 membered heterocyclyl- C_{1-6} -alkyl, and lower haloalkyl;

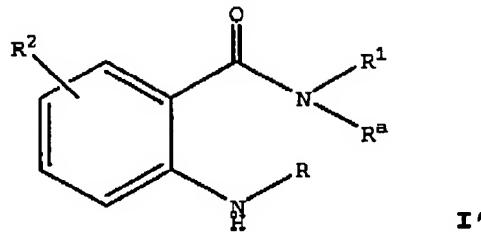
wherein R^5 is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-3} -alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-3} -alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;

wherein R^a is selected from H and C₁₋₂-alkyl; and wherein R^b and R^c are independently selected from H and C₁₋₂-haloalkyl; and pharmaceutically acceptable derivatives thereof.

2. (Amended Herein) A compound of Formula I'

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wherein R is selected from

- a) unsubstituted 9 or 10 membered fused heterocyclyl and 9 or 10 membered fused heterocyclyl substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-halealkyl, C₁₋₆-alkoxy, optionally substituted heterocyclylalkoxy, C₁₋₆-alkylamino C₂₋₄-alkynyl, C₁₋₆-alkylamino C₁₋₆-alkoxy, C₁₋₆-alkylamino C₁₋₆-alkoxy C₁₋₆-alkoxy, and optionally substituted heterocyclyl C₂₋₄-alkynyl,
- b) -(CH₂)₁₋₂-R³, and
- c) -(CHCH₂)-R³;

wherein R¹ is selected from unsubstituted or substituted

- a) 5-6 membered saturated or partially saturated heterocyclyl,
- b) 9-10 membered bicyclic and 11-14 membered tricyclic saturated or partially saturated heterocyclyl, and
- c) phenyl;

wherein substituted R¹ is heterocyclyl substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₁₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkenyl, C₁₋₆-halealkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl oxy, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclyl amine, optionally substituted 4-6 membered heterocyclyl carbonyl, optionally substituted 4-6 membered

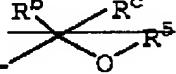
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~~heterocyclyl C₁-, alkylcarbonyl, C₁-, haloalkyl, C₁-, aminalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁-, alkylsulfonyl, halsulfonyl, C₁-, alkylcarbonyl, C₁-, alkylamine C₁-, alkyl, C₁-, alkylamino C₁-, alkoxy, C₁-, alkylamino C₁-, alkoxy C₁-, alkoxy, C₁-, alkoxycarbonyl, C₁-,~~

~~alkoxycarbonylamino C₁-, alkyl, C₁-, hydroxalkyl, and C₁-, alkoxy,~~

wherein substituted R¹ is phenyl substituted with a substituent selected from optionally substituted 4-6 membered heterocyclyl C₁-C₄-alkyl, optionally substituted 4-6 membered heterocyclyl C₁-C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C₁-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamine, optionally substituted 4-6 membered heterocyclylcarbonyl, halo, C₁-C₄-alkyl and optionally substituted 4-6 membered heterocyclyl C₁-alkylcarbonyl, and the phenyl ring is optionally further substituted with one or more substituents selected from halo, C₁-alkyl, optionally substituted C₁-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl C₁-C₄-alkylenyl, C₁-, haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl C₁-C₄-alkyl, optionally substituted 4-6 membered heterocyclyl C₁-C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C₁-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamine, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl C₁-alkylcarbonyl, C₁-haloalkyl, C₁-aminalkyl, nitro, amino,



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hydroxy, cyano, aminosulfonyl, C_1 , alkylsulfonyl, halosulfonyl, C_1 , alkylcarbonyl, C_1 , alkylamine C_1 , alkyl, C_1 , alkylamine C_1 , alkoxy, C_1 , alkylamine C_1 , alkoxy C_1 , alkoxy, C_1 , alkylcarbonyl, C_1 , alkylcarbonylamine C_1 ,

alkyl, C_1 , hydroxalkyl, $\begin{array}{c} R^b \\ \diagup \\ \diagdown \\ O \\ \diagdown \\ R^c \end{array}$ and C_1 , alkoxy,

wherein R^b is one or more substituents independently selected from halo, hydroxy, amino, C_1 , alkyl, C_1 , haloalkyl, C_1 , alkoxy, C_1 , alkylamine, aminosulfonyl, C_1 , cycloalkyl, cyano, C_1 , hydroxalkyl, nitro, C_1 , alkenyl, C_1 , alkynyl, C_1 , haloalkoxy, C_1 , carboxyalkyl, 4-6 membered heterocyclyl, C_1 , alkylamine, unsubstituted or substituted phenyl and unsubstituted or substituted 4-6 membered heterocyclyl

selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolinyl, and 1,4-benzodioxanyl;
wherein R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl,

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piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

wherein R² is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
cyano,
C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
4-6-membered heterocyclyl-C₁₋₆-alkylamino,

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unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R³ is independently selected from substituted or unsubstituted aryl, substituted or unsubstituted 5-6 membered heterocyclyl, and substituted or unsubstituted fused 9, 10 or 11 membered heterocyclyl; wherein substituted R³ is substituted with one or more substituents independently selected from halo, -OR⁴, -SR⁴, -SO₂R⁴, -CO₂R⁴, -CONR⁴R⁴, -COR⁴, -NR⁴R⁴, -SO₂NR⁴R⁴, -NR⁴C(O)OR⁴, -NR⁴C(O)R⁴, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R⁶, cyano, nitro, lower alkenyl and lower alkynyl;
wherein R⁴ is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C₃-C₆ cycloalkyl, phenyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkyl, and lower haloalkyl;

wherein R⁵ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;

wherein R⁶ is selected from H, halo, hydroxy, amino, C₁₋₆-alkoxy, C₁₋₂-alkylamino, aminosulfonyl, C₃₋₆-cycloalkyl, cyano, nitro, C₁₋₆-haloalkoxy, carboxy, 4-6-membered heterocyclyl-C₁₋₆-alkylamino, unsubstituted or substituted phenyl and unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^a is selected from H and C₁₋₂-alkyl; and

wherein R^b and R^c are independently selected from H and C₁₋₂-haloalkyl; and pharmaceutically acceptable derivatives thereof;

provided R³ is not aryl or heteroaryl when R¹ is unsubstituted phenyl or phenyl substituted with halo, or C₁₋₆-alkyl and when R² is H.

3. (Previously Presented) Compound of Claim 2 wherein R¹ is selected from unsubstituted or substituted 9-10 membered bicyclic

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saturated or partially saturated heterocyclyl; and wherein R^a is H; and pharmaceutically acceptable derivatives thereof.

4. (Cancelled Herein) Compound of Claim 3 wherein R¹ is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolinyl, and 1,4-benzodioxanyl, wherein R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-1-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylecarbonyl, Boc, piperidin-1-ylmethylecarbonyl, 4-methylpiperazin-1-ylecarbonyl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropiperidyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-

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~~ylmethoxy, pyrrol 2-ylmethoxy, 1-*Boc* pyrrol 2-ylmethoxy, pyrrol 1-ylmethoxy, 1-methyl pyrrol 2-ylmethoxy, 1-isopropyl pyrrol 2-ylmethoxy, 1-*Boc* piperdin 4-ylmethoxy, piperdin 4-ylmethoxy, 1-methylpiperdin 4-yloxy, isopropoxy, methoxy and ethoxy, and pharmaceutically acceptable derivatives thereof.~~

5. (Previously Presented) Compound of Claim 4 wherein R¹ is selected from 4,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinol-7-yl, 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2-acetyl-4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2,3-dihydro-1H-indolyl, 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, 1-ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, and 1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable derivatives thereof.

6. (Previously Presented) Compound of Claim 5 wherein R¹ is 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable derivatives thereof.

7. (Previously Presented) Compound of Claim 5 wherein R¹ is 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl; and pharmaceutically acceptable derivatives thereof.

8. (Cancelled Herein) Compound of Claim 2 wherein R² is selected from phenyl substituted with a substituent selected from optionally substituted 4-6 membered heterocyclyl C₁-C₄ alkyl, optionally substituted 4-6 membered heterocyclyl C₂-C₄ alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C₁-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamine, optionally substituted 4-6 membered heterocyclylcarbonyl, chloro, C₁-C₄ alkyl and optionally substituted 4-6 membered heterocyclyl C₁-alkylcarbonyl, and wherein R³ is H, and pharmaceutically acceptable derivatives thereof, provided R³ is not

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~~ethyl or heteroaryl when R¹ is phenyl substituted with chloro or alkyl and when R² is H.~~

9. (Previously Presented) Compound of Claim 8 wherein R¹ is selected from 4-chlorophenyl, 4-tert-butylphenyl, and 4-(1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl)phenyl; and pharmaceutically acceptable derivatives thereof.

10. (Previously Presented) Compound of Claim 2 wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

11. (Previously Presented) Compound of Claim 10 wherein R² is H; and pharmaceutically acceptable derivatives thereof.

12. (Cancelled Herein) Compound of Claim 2 wherein R is $(CH_2)_n R^3$, and wherein R³ is selected from phenyl substituted with one or more substituents independently selected from halo, amino, C₁-alkoxy, hydroxyl, C₁-alkyl and C₁-haloalkyl, and pharmaceutically acceptable derivatives thereof.

13. (Cancelled Herein) Compound of Claim 2 wherein R is selected from unsubstituted or substituted 9 or 10 membered fused nitrogen containing heterocyclyl; and pharmaceutically acceptable derivatives thereof.

14. (Cancelled Herein) Compound of Claim 13 wherein R is selected from optionally substituted indazolyl, quinolinyl, [1,7]naphthyridinyl,

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~~quinazolinyl and isoquinolinyl, and pharmaceutically acceptable derivatives thereof.~~

15. (Cancelled Herein) ~~Compound of Claim 14 wherein R is selected from {1,7}naphthyridin 2 yl, quinazolin 6 yl and 7 isoquinolinyl, and pharmaceutically acceptable derivatives thereof.~~

16. (Cancelled Herein) ~~Compound of Claim 2 wherein R is $(CH_2)_2$, R^3 , and wherein R^3 is selected from substituted or unsubstituted 5-6 numbered nitrogen containing heteroaryl, and substituted or unsubstituted fused 9, or 10 numbered nitrogen containing heteroaryl, and pharmaceutically acceptable derivatives thereof.~~

17. (Amended Herein) Compound of Claim ~~16~~ 2 wherein R is selected from (3-pyridyl)- $(CH_2)_2$ -, (4-pyridyl)- CH_2 -, (4-pyrimidinyl)- CH_2 -, (5-pyrimidinyl)- CH_2 -, (6-pyrimidinyl)- CH_2 -, (4-pyridazinyl)- CH_2 - and (6-pyridazinyl)- CH_2 -; wherein R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, methylamino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

18. (Cancelled Herein) ~~Compound of Claim 16 wherein R is selected from 5 indazolyl CH_2 , 4 quinolinyl CH_2 , (1H-pyrrolo[2,3-b]pyridin 3-yl) CH_2 , 5 quinoxalinyl CH_2 , 5 isoquinolinyl CH_2 , and 4 quinazolinyl CH_2 ; and pharmaceutically acceptable derivatives thereof.~~

19. (Amended Herein) Compound of Claim 2 wherein R is selected from (4-pyridyl)- CH_2 -, ~~(4-fluorophenyl) CH_2 , (2-methylamino-4-pyrimidinyl)- CH_2 -, (4-quinolinyl) CH_2 , 5 quinoxalinyl CH_2 , (4-pyridazinyl)- CH_2 -, (1H-pyrrolo[2,3-b]pyridin 3-yl) CH_2 , (2-methoxy-4-pyridyl)- CH_2 -, (4-pyridazinyl)- CH_2 , and (2-amino-4-pyrimidinyl)- CH_2 -, quinazolin 6 yl and 7 isoquinolinyl; and pharmaceutically acceptable derivatives thereof.~~

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20. (Amended Herein) Compound of Claim 2 wherein R is $(CHCH_3)_-R^3$, wherein R³ is selected from unsubstituted or substituted 6-membered nitrogen-containing heteroaryl; and wherein substituted R³ is substituted with one or more substituents independently selected from halo, amino, C₁₋₃-alkoxy, hydroxyl, C₁₋₃-alkyl and C₁₋₂-haloalkyl; and pharmaceutically acceptable derivatives thereof.

21. (Previously Presented) Compound of Claim 20 wherein R is selected from (4-pyridyl)-(CHCH₃)-, (4-pyrimidinyl)-(CHCH₃)-, (5-pyrimidinyl)-(CHCH₃)-, (6-pyrimidinyl)-(CHCH₃)-, (4-pyridazinyl)-(CHCH₃)- and (6-pyridazinyl)-(CHCH₃)-; wherein R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

22. (Previously Presented) Compound of Claim 21 wherein R is (2-methylamino-4-pyrimidinyl)-CHCH₃- or (2-amino-4-pyrimidinyl)-CHCH₃-; and pharmaceutically acceptable derivatives thereof.

23. (Previously Presented) Compound of Claim 2 wherein R⁵ is selected from H, piperidinylethyl and methoxyethoxyethyl; wherein R^a is H; and wherein R^b and R^c are independently selected from H and trifluoromethyl; and pharmaceutically acceptable derivatives thereof.

24. (Previously Presented) Compound of Claim 2 wherein R is (4-pyridyl)-CH₂-; and pharmaceutically acceptable derivatives thereof.

25. (Cancelled Herein) Compound of Claim 2 wherein R is (4-fluorophenyl)-CH₂-; and pharmaceutically acceptable derivatives thereof.

26. (Cancelled Herein) Compound of Claim 2 wherein R is (4-quinolyl)-CH₂-; and pharmaceutically acceptable derivatives thereof.

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27. (Cancelled Herein) Compound of Claim 2 wherein R is (1H-pyrrolo[2,3-b]pyridin-3-yl)-CH₂-; and pharmaceutically acceptable derivatives thereof.

28. (Previously Presented) Compound of Claim 2 wherein R is (2-amino-pyrimidin-4-yl)-CHCH₃- or (2-methylaminopyrimidin-4-yl)-CHCH₃-; and pharmaceutically acceptable derivatives thereof.

29. (Previously Presented) Compound of Claim 2 wherein R² is H or fluoro; and pharmaceutically acceptable derivatives thereof.

30. (Amended Herein) Compound of Claim 2 and pharmaceutically acceptable salts thereof selected from

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

N-(4,4-dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-(quinazolin-6-ylamine)-benzamide;

N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide;

(R)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;

N-(1-Ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(quinolin-4-ylmethyl)-amino]-benzamide;

N-(4-tert-Butyl-phenyl)-2-(isoquinolin-7-ylamine)-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;

N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(quinolin-4-ylmethyl)-amino]-benzamide;

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N- (3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(1-oxy-pyridin-4-ylmethyl)-amino]-benzamide;
N- (4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;
N- (4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-3-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;
N- (4,4-Dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-amino]-benzamide;
N- (4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridazin-4-ylmethyl)-amino]-benzamide;
2-[(1-(2-Amino-pyrimidin-4-yl)-ethylamino]-N- (4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-benzamide;
N- (4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(1-(2-methylamino-pyrimidin-4-yl)-ethylamino)-benzamide;
~~2-(4 Fluoro benzylamino) N-(4 [1 methyl 1 (1 methyl piperidin-4-yl)-ethyl] phenyl) benzamide;~~
~~N-[4 [1 Methyl 1 (1 methyl piperidin-4-yl) ethyl] phenyl]-2-[(quinolin-4-ylmethyl) amino] benzamide;~~
~~N-(4,4-Dimethyl 1,2,3,4 tetrahydro isoquinolin 7 yl) 2-(4 fluoro benzylamino) benzamide;~~
~~N-(4,4-Dimethyl 1,2,3,4 tetrahydro isoquinolin 7 yl) 2 fluoro 3-(4 fluoro benzylamino) benzamide;~~
~~N-(4,4-Dimethyl 1,2,3,4 tetrahydro isoquinolin 7 yl) 3 fluoro 2-(4 fluoro benzylamino) benzamide, and~~
N- (4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-4-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide, and
~~N-(1,1-Dimethyl 2 exo 1,2,3,4 tetrahydro quinolin 7 yl) 2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl) amino] benzamide.~~

31. (Previously Presented) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N- (3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

32. (Previously Presented) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N- (1-acetyl-3,

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dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

33. (Cancelled Herein) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-(quinazolin-6-ylamino)-benzamide.

34. (Previously Presented) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide.

35. (Previously Presented) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising (R)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide.

36. (Previously Presented) A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound of Claim 1.

37. (Withdrawn from Consideration) A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 1.

38. (Withdrawn from Consideration) The method of Claim 37 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

39. (Withdrawn from Consideration) A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound of Claim 1.

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40. (Withdrawn from Consideration) A method of treating VEGF receptor-related disorders in a mammal, said method comprising administering an effective amount of a compound of Claim 1.

41. (Withdrawn from Consideration) A method of treating proliferation-related disorders in a mammal, said method comprising administering an effective amount of a compound of Claim 1.

42. (Withdrawn from Consideration) The method of Claim 41 wherein the disorder is inflammation or an inflammation-related disorder.

43. (Withdrawn from Consideration) A method of reducing blood flow in a tumor in a subject, said method comprising administering an effective amount of a compound of Claim 1.

44. (Withdrawn from Consideration) A method of reducing tumor size in a subject, said method comprising administering an effective amount of a compound of Claim 1.

45. (Withdrawn from Consideration) A method of treating diabetic retinopathy in a subject, said method comprising administering an effective amount of a compound of Claim 1.

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